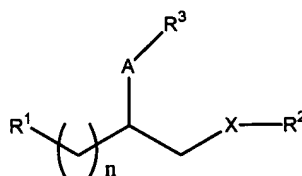


What is claimed is:

1. A compound of the Formula I:

5



Formula I

wherein

n is 1 or 2;

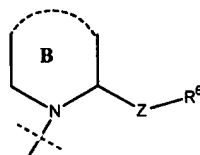
- 10 A is a divalent  $-\text{CH}=\text{CH}-$ ,  $-(\text{C}_1\text{-C}_7\text{-alkyl})-\text{Y}-$ ,  $-\text{NR}^d(\text{CH}_2)_t-\text{Y}-$ ,  $-\text{Y}-(\text{C}_1\text{-C}_7\text{-alkyl})-$ ,  $-\text{Y}-(\text{C}_1\text{-C}_7\text{-alkyl})-$ ,  $-\text{Y}-\text{NH}-$ ,  $-\text{Y}-\text{NR}^d(\text{C}_1\text{-C}_6\text{-alkyl})-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{O}-\text{Y}-$ ,  $-\text{Y}-\text{O}-$ ,  $-\text{Y}-\text{S}-$ , or  $-\text{S}-\text{Y}-$ , wherein  $\text{R}^d$  is H or  $\text{C}_1\text{-C}_6$  alkyl, t is an integer from 0 to 5, Y is  $\text{C}(\text{O})$ ,  $\text{C}(\text{S})$ ,  $\text{S}(\text{O})$ ,  $\text{S}(\text{O})_2$ , or a bond;

X is a direct bond,  $\text{CH}_2$ ,  $\text{CF}_2$ , O, S, NH,  $\text{C}(\text{O})$ , or  $\text{C}(\text{S})$ ;

- 15  $\text{R}^1$  is a  $\text{C}_3\text{-C}_{10}$  cycloalkyl, 4-10 membered heterocycloalkyl,  $\text{C}_6\text{-C}_{10}$  aryl, or 4-10 membered heteroaryl group, wherein  $\text{R}^1$  is unsubstituted or substituted with 1 to 4  $\text{R}^{10}$  groups;

$\text{R}^2$  is  $-\text{S}(\text{O})_2\text{OH}$ ,  $-\text{S}(\text{O})_2\text{NR}^d\text{R}^e$ , or  $-\text{P}(\text{O})(\text{OR}^4)_2$ , wherein  $\text{R}^4$  is an H,  $\text{C}_1\text{-C}_{10}$ -alkyl,  $\text{C}_6\text{-C}_{10}$  aryl, or  $-\text{CH}_2\text{-O-C}(\text{O})\text{R}^e\text{CH}_3$  group,  $\text{R}^d$  and  $\text{R}^e$  are each independently an H or  $\text{C}_1\text{-C}_6$  alkyl group, and  $\text{R}^4$  is unsubstituted or substituted with 1 to 4  $\text{R}^{10}$  groups; and

- 20  $\text{R}^3$  is OH,  $\text{C}_1\text{-C}_7$ -alkyl,  $\text{C}_1\text{-C}_7$ -alkoxy,  $\text{C}_6\text{-C}_{10}$  aryl, 4-10 membered heteroaryl,  $\text{C}_3\text{-C}_{10}$  cycloalkyl, 3-10 membered heterocycloalkyl,  $-\text{NH}(\text{R}^5)$ , or  $-\text{N}(\text{R}^5)_2$  group, wherein  $\text{R}^5$  is independently selected from H,  $\text{C}_1\text{-C}_7$  alkyl,  $\text{C}_6\text{-C}_{10}$  aryl, or



- 25 wherein ring B is a 5- or 6-membered heterocycloalkyl group, Z is a divalent  $\text{C}(\text{O})\text{Z}'$ , heteroaryl or heterocycloalkyl group wherein  $\text{Z}'$  is a divalent O, S, NH,  $\text{N}(\text{CH}_3)$ ,  $\text{CO}_2$ , or  $\text{CH}_2$ , and  $\text{R}^6$  is H,  $\text{C}_1\text{-C}_{10}$  alkyl, aryl,  $\text{C}_1\text{-C}_6$  alkyl-aryl, or arylalkyl group, wherein  $\text{R}^3$ ,  $\text{R}^5$ , B and  $\text{R}^6$  are unsubstituted or substituted with 1 to 4  $\text{R}^{10}$  groups;

- 30 wherein each  $\text{R}^{10}$  is independently selected from halo, amino,  $=\text{O}$ ,  $=\text{S}$ ,  $=\text{NH}$ , cyano, nitro, hydroxyl,  $-\text{SH}$ , haloalkyl, 2-10 membered heteroalkyl,  $\text{C}_1\text{-C}_6$  alkoxy,  $\text{C}_1\text{-C}_{10}$  alkyl,  $\text{C}_2\text{-C}_6$  alkenyl,  $\text{C}_2\text{-C}_6$  alkynyl,  $-\text{C}(\text{O})_j\text{R}^a$ ,  $-\text{OC}(\text{O})_j\text{R}^d$ ,  $-\text{OC}(\text{O})\text{OC}(\text{O})\text{R}^d$ ,  $-\text{OOH}$ ,  $-\text{C}(\text{NR}^d)\text{NR}^b\text{R}^c$ ,  $-\text{NR}^d\text{C}(\text{NR}^e)\text{NR}^b\text{R}^c$ ,

- $-\text{NR}^d\text{C}(\text{O})\text{R}^b$ ,  $-\text{C}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{C}(\text{O})\text{NR}^d\text{COR}^b$ ,  $-\text{OC}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{NR}^b\text{R}^c$ ,  $-\text{NR}^d\text{OR}^c$ ,  $-\text{C}(\text{S})\text{NR}^b\text{R}^c$ ,  
 $-\text{NR}^d\text{C}(\text{S})\text{NR}^b\text{R}^c$ ,  $-\text{NR}^d\text{C}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{OSH}$ ,  $-\text{S}(\text{O})\text{R}^b$ ,  $-\text{OS}(\text{O})\text{R}^b$ ,  $-\text{SC}(\text{O})\text{R}^b$ ,  $-\text{S}(\text{O})\text{C}(\text{O})\text{OR}^b$ ,  
 $-\text{SCOR}^d$ ,  $-\text{NR}^d\text{SR}^c$ ,  $-\text{SR}^b$ ,  $-\text{NHS}(\text{O})\text{R}^b$ ,  $-\text{COSR}^b$ ,  $-\text{C}(\text{O})\text{S}(\text{O})\text{R}^b$ ,  $-\text{CSR}^b$ ,  $-\text{CS}(\text{O})\text{R}^b$ ,  $-\text{C}(\text{SO})\text{OH}$ ,  
 $-\text{C}(\text{SO})_2\text{OH}$ ,  $-\text{NR}^d\text{C}(\text{S})\text{R}^c$ ,  $-\text{OC}(\text{S})\text{R}^b$ ,  $-\text{OC}(\text{S})\text{OH}$ ,  $-\text{OC}(\text{SO})_2\text{R}^b$ ,  $-\text{S}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{SNR}^b\text{R}^c$ ,  
5  $-\text{S}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{NR}^d\text{CS}(\text{O})\text{R}^c$ ,  $-\text{C}(\text{O})\text{R}^b(\text{CH}_2)_t\text{NR}^d$ -(4-10 membered heteroaryl),  $-\text{C}(\text{O})\text{R}^b(\text{CH}_2)_t\text{NR}^d$ -(4-10 membered heterocycloalkyl),  $-(\text{CR}^d\text{R}^e)_i\text{CN}$ ,  $-(\text{CR}^d\text{R}^e)_i(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  $-(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  
 $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heterocycloalkyl),  $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heteroaryl),  
 $-(\text{CR}^d\text{R}^e)_q\text{C}(\text{O})(\text{CR}^d\text{R}^e)_i(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  $-(\text{CR}^d\text{R}^e)_q\text{C}(\text{O})(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  
 $-(\text{CR}^d\text{R}^e)_q\text{C}(\text{O})(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heterocycloalkyl),  $-(\text{CR}^d\text{R}^e)_q\text{C}(\text{O})(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heteroaryl),  
10  $-(\text{CR}^d\text{R}^e)_i\text{O}(\text{CR}^d\text{R}^e)_q(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  $-(\text{CR}^d\text{R}^e)_i\text{O}(\text{CR}^d\text{R}^e)_q(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  
 $-(\text{CR}^d\text{R}^e)_i\text{O}(\text{CR}^d\text{R}^e)_q$ -(4-10 membered heterocycloalkyl),  $-(\text{CR}^d\text{R}^e)_i\text{O}(\text{CR}^d\text{R}^e)_q$ -(4-10 membered heteroaryl),  
 $-(\text{CR}^d\text{R}^e)_q\text{SO}_2(\text{CR}^d\text{R}^e)_i(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  $-(\text{CR}^d\text{R}^e)_q\text{SO}_2(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  
 $-(\text{CR}^d\text{R}^e)_q\text{SO}_2(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heterocycloalkyl), and  $-(\text{CR}^d\text{R}^e)_q\text{SO}_2(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heteroaryl), wherein  $\text{R}^a$  is selected from the group consisting of halo, hydroxyl,  
15  $-\text{NR}^d\text{R}^e$ ,  $\text{C}_1\text{-C}_{10}$  alkyl, haloalkyl,  $\text{C}_1\text{-C}_6$  alkoxy,  $\text{R}^b$  and  $\text{R}^c$  are independently selected from H,  $\text{C}_1\text{-C}_{10}$  alkyl,  $-(\text{CR}^d\text{R}^e)_i(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  $-(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heterocycloalkyl), and  $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heteroaryl),  $\text{R}^d$  and  $\text{R}^e$  are independently H or  $\text{C}_1\text{-C}_6$  alkyl,  $j$  is an integer from 0 to 2,  $q$  and  $t$  are each independently an integer from 0 to 5, and  
20 1 or 2 ring carbon atoms of the cyclic moieties of the foregoing  $\text{R}^{10}$  groups are unsubstituted or substituted with =O, and the alkyl, alkenyl, alkynyl, aryl and cyclic moieties of the foregoing  $\text{R}^{10}$  groups are unsubstituted or substituted with 1 to 3 substituents independently selected from halo, =O, cyano, nitro,  $-(\text{CR}^d\text{R}^e)_i\text{CN}$ , haloalkyl, 2-10 membered heteroalkyl,  $-\text{OR}^b$ ,  $-\text{C}(\text{O})\text{R}^b$ ,  
 $-\text{NR}^d\text{C}(\text{O})\text{R}^b$ ,  $-\text{C}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{NR}^b\text{R}^c$ ,  $-\text{NR}^b\text{OR}^c$ ,  $-\text{NR}^d\text{C}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{NR}^d\text{C}(\text{O})\text{R}^b\text{R}^c$ ,  $-\text{OC}(\text{O})\text{R}^b$ ,  
 $-\text{OC}(\text{O})\text{NR}^b\text{R}^c$ ,  $-\text{SR}^d$ ,  $\text{C}_1\text{-C}_{10}$  alkyl,  $\text{C}_2\text{-C}_6$  alkenyl,  $\text{C}_2\text{-C}_6$  alkynyl,  $-(\text{CR}^d\text{R}^e)_i(\text{C}_3\text{-C}_{10}\text{ cycloalkyl})$ ,  
25  $-(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ ,  $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heterocycloalkyl),  $-(\text{CR}^d\text{R}^e)_i$ -(4-10 membered heteroaryl),  $-(\text{CR}^d\text{R}^e)_i(\text{C}_6\text{-C}_{10}\text{ aryl})$ -( $\text{C}_1\text{-C}_6$  alkyl); wherein  $t$ ,  $\text{R}^b$ ,  $\text{R}^c$ ,  $\text{R}^d$ ,  $\text{R}^e$  are as defined above;

or a pharmaceutically acceptable prodrug of said compound, pharmaceutically active metabolite of said compound, or pharmaceutically acceptable salt of said compound or metabolite.

30

2. A pharmaceutically acceptable salt according to claim 1.

3. A compound or pharmaceutically acceptable salt according to claim 1, wherein:  
 $n$  is 1 or 2;

35

$\text{A}$  is a divalent  $-\text{NH}-\text{Y}-$ ,  $-\text{NR}^d(\text{CH}_2)_t-\text{Y}-$ , or  $-\text{O}-\text{Y}-$ , and  $\text{Y}$  is  $\text{C}(\text{O})$  or  $\text{S}(\text{O})_2$ ;

$\text{X}$  is a direct bond,  $\text{CH}_2$ ,  $\text{O}$ , or  $\text{S}$ ;

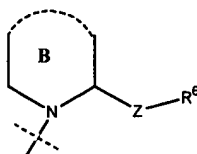
$\text{R}^1$  is a  $\text{C}_6\text{-C}_{10}$  aryl or 4-10 membered heteroaryl group unsubstituted or substituted with 1 to 4  $\text{R}^{10}$  groups;

$\text{R}^2$  is  $-\text{S}(\text{O})_2\text{OH}$ , or  $-\text{P}(\text{O})(\text{OR}^4)_2$ , wherein  $\text{R}^4$  is an H,  $\text{C}_1\text{-C}_{10}$  alkyl, or  $\text{C}_6\text{-C}_{10}$  aryl group,

40

and is unsubstituted or substituted with 1 to 4  $\text{R}^{10}$  groups; and

$R^3$  is a  $C_6$ - $C_{10}$  aryl, 4-10 membered heteroaryl,  $-NH(C_6H_5)$ , or



5

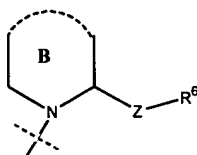
wherein ring B is a 5- or 6-membered heterocycloalkyl group, Z is a divalent  $C(O)Z'$ , heteroaryl or heterocycloalkyl group wherein  $Z'$  is a divalent O, S, NH,  $N(CH_3)$ ,  $CO_2$ , or  $CH_2$ , and  $R^6$  is H or a  $C_1$ - $C_{10}$  alkyl group, wherein  $R^3$ , B, and  $R^6$  is unsubstituted or substituted with 1 to 4  $R^{10}$  groups;

wherein each  $R^{10}$  is independently selected from halo, amino,  $=O$ ,  $=S$ ,  $=NH$ , cyano, nitro,

- 10 hydroxyl,  $-SH$ , haloalkyl, 2-10 membered heteroalkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_{10}$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $-C(O)_jR^a$ ,  $-OC(O)_jR^d$ ,  $-OC(O)OC(O)R^d$ ,  $-OOH$ ,  $-C(NR^d)NR^bR^c$ ,  $-NR^dC(NR^a)NR^bR^c$ ,  $-NR^dC(O)_jR^b$ ,  $-C(O)NR^bR^c$ ,  $-C(O)NR^dCOR^b$ ,  $-OC(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^dOR^c$ ,  $-C(S)NR^bR^c$ ,  $-NR^dC(S)NR^bR^c$ ,  $-NR^dC(O)NR^bR^c$ ,  $-OSH$ ,  $-S(O)_jR^b$ ,  $-OS(O)_jR^b$ ,  $-SC(O)R^b$ ,  $-S(O)_jC(O)OR^b$ ,  $-SCOR^d$ ,  $-NR^dSR^c$ ,  $-SR^b$ ,  $-NHS(O)_jR^b$ ,  $-COSR^b$ ,  $-C(O)S(O)_jR^b$ ,  $-CSR^b$ ,  $-CS(O)_jR^b$ ,  $-C(SO)OH$ ,  
 15  $-C(SO)_2OH$ ,  $-NR^dC(S)R^c$ ,  $-OC(S)R^b$ ,  $-OC(S)OH$ ,  $-OC(SO)_2R^b$ ,  $-S(O)_jNR^bR^c$ ,  $-SNR^bR^c$ ,  $-S(O)NR^bR^c$ ,  $-NR^dCS(O)_jR^c$ ,  $-C(O)_j(CH_2)_tNR^d$ -(4-10 membered heteroaryl),  $-C(O)_j(CH_2)_tNR^d$ -(4-10 membered heterocycloalkyl),  $-(CR^dR^e)_iCN$ ,  $-(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_i$ -(4-10 membered heterocycloalkyl),  $-(CR^dR^e)_i$ -(4-10 membered heteroaryl),  $-(CR^dR^e)_qC(O)(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_qC(O)(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  
 20  $-(CR^dR^e)_qC(O)(CR^dR^e)_i$ -(4-10 membered heterocycloalkyl),  $-(CR^dR^e)_qC(O)(CR^dR^e)_i$ -(4-10 membered heteroaryl),  $-(CR^dR^e)_iO(CR^dR^e)_q(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_iO(CR^dR^e)_q(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_iO(CR^dR^e)_q$ -(4-10 membered heterocycloalkyl),  $-(CR^dR^e)_iO(CR^dR^e)_q$ -(4-10 membered heteroaryl),  $-(CR^dR^e)_qSO_2(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_qSO_2(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_qSO_2(CR^dR^e)_i$ -(4-10 membered heterocycloalkyl), and  $-(CR^dR^e)_qSO_2(CR^dR^e)_i$ -(4-10 membered heteroaryl), wherein  $R^a$  is selected from the group consisting of halo, hydroxyl,  $-NR^dR^e$ ,  $C_1$ - $C_{10}$  alkyl, haloalkyl,  $C_1$ - $C_6$  alkoxy,  $R^b$  and  $R^c$  are independently selected from H,  $C_1$ - $C_{10}$  alkyl,  $-(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_i$ -(4-10 membered heterocycloalkyl), and  $-(CR^dR^e)_i$ -(4-10 membered heteroaryl),  $R^d$  and  $R^e$  are independently H or  $C_1$ - $C_6$  alkyl, j is an integer from 0 to 2, q and t are each independently an integer from 0 to 5, and  
 30 1 or 2 ring carbon atoms of the cyclic moieties of the foregoing  $R^{10}$  groups are unsubstituted or substituted with  $=O$ , and the alkyl, alkenyl, alkynyl, aryl and cyclic moieties of the foregoing  $R^{10}$  groups are unsubstituted or substituted with 1 to 3 substituents independently selected from halo,  $=O$ , cyano, nitro,  $-(CR^dR^e)_iCN$ , haloalkyl, 2-10 membered heteroalkyl,  $-OR^b$ ,  $-C(O)_jR^b$ ,  $-NR^dC(O)R^b$ ,  $-C(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^bOR^c$ ,  $-NR^dC(O)_jNR^bR^c$ ,  $-NR^dC(O)_jR^bR^c$ ,  $-OC(O)_jR^b$ ,  
 35  $-OC(O)NR^bR^c$ ,  $-SR^d$ ,  $C_1$ - $C_{10}$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $-(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_i$ -(4-10 membered heterocycloalkyl),  $-(CR^dR^e)_i$ -(4-10 membered heteroaryl),

heteroaryl),  $-(CR^dR^e)_t(C_6-C_{10} \text{ aryl})-(C_1-C_6 \text{ alkyl})$ ; and wherein  $t$ ,  $R^b$ ,  $R^c$ ,  $R^d$ ,  $R^e$  are as defined above.

4. A compound or pharmaceutically acceptable salt according to claim 3, wherein:  
 5 n is 1;  
 A is a divalent  $-NH-Y-$  or  $-O-Y-$ , wherein Y is  $C(O)$ ;  
 X is a direct bond,  $CH_2$ , or O;  
 $R^1$  is a  $C_6-C_{10}$  aryl group unsubstituted or substituted with 1 to 4  $R^{10}$  groups;  
 $R^2$  is  $-P(O)(OR^4)_2$ , wherein  $R^4$  is an H,  $C_1-C_{10}$  alkyl, or  $C_6-C_{10}$  aryl group, and is  
 10 unsubstituted or substituted with 1 to 4  $R^{10}$  groups; and  
 $R^3$  is a  $C_6-C_{10}$  aryl, 4-10 membered heteroaryl, or



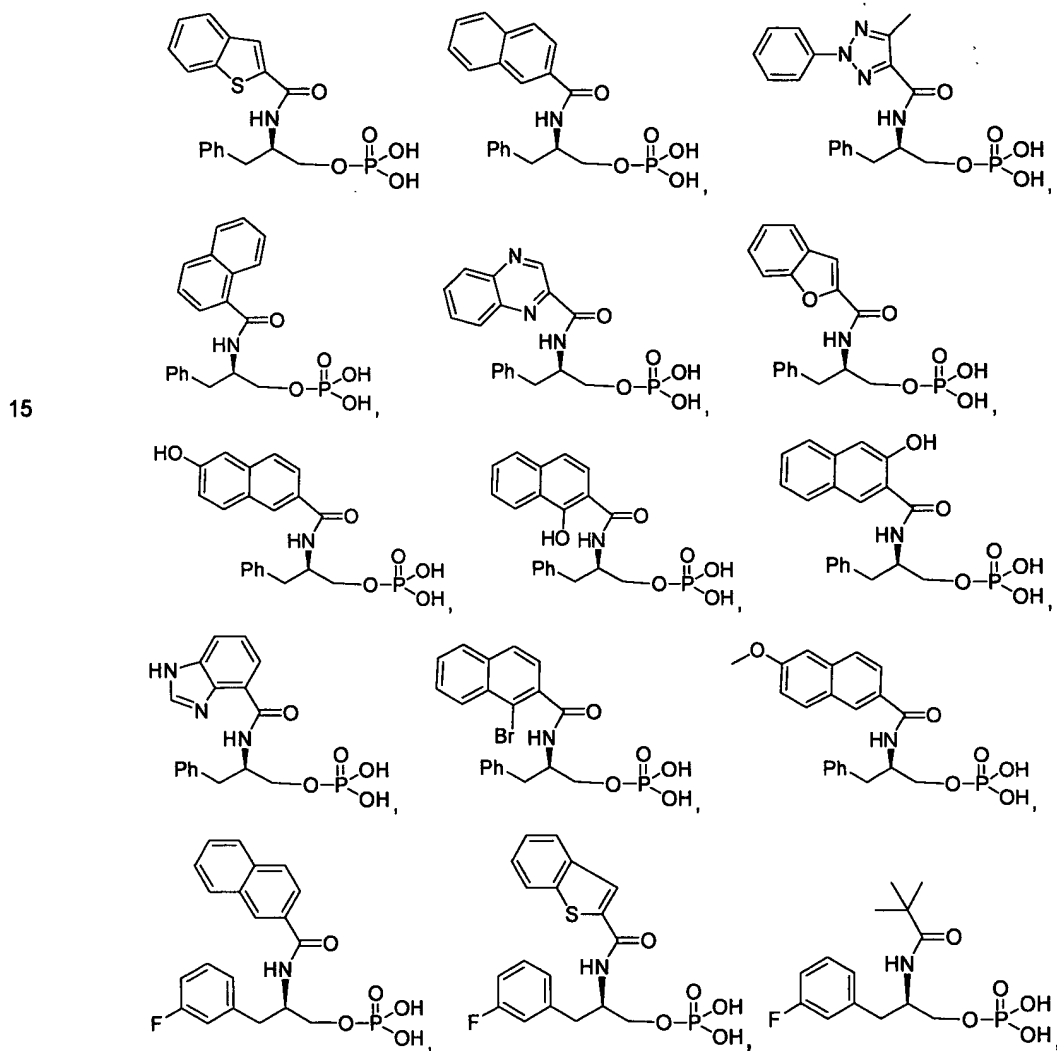
- 15 wherein ring B is an unsubstituted 6-membered heterocycloalkyl, Z a divalent  $C(O)Z'$ ,  $Z'$  is a divalent O, S, or  $CH_2$ , and  $R^6$  is a  $C_1-C_{10}$  alkyl group, wherein  $R^3$ , B and  $R^6$  are unsubstituted or substituted with 1 to 4  $R^{10}$  groups;  
 wherein each  $R^{10}$  is independently selected from halo, amino,  $=O$ ,  $=S$ ,  $=NH$ , cyano, nitro, hydroxyl,  $-SH$ , haloalkyl, 2-10 membered heteroalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_{10}$  alkyl,  $C_2-C_6$  alkenyl,  
 20  $C_2-C_6$  alkynyl,  $-C(O)_iR^a$ ,  $-OC(O)_jR^d$ ,  $-OC(O)OC(O)R^d$ ,  $-OOH$ ,  $-C(NR^d)NR^bR^c$ ,  $-NR^dC(NR^e)NR^bR^c$ ,  
 $-NR^dC(O)_jR^b$ ,  $-C(O)NR^bR^c$ ,  $-C(O)NR^dCOR^b$ ,  $-OC(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^dOR^c$ ,  $-C(S)NR^bR^c$ ,  
 $-NR^dC(S)NR^bR^c$ ,  $-NR^dC(O)NR^bR^c$ ,  $-OSH$ ,  $-S(O)_jR^b$ ,  $-OS(O)_jR^b$ ,  $-SC(O)R^b$ ,  $-S(O)_jC(O)OR^b$ ,  $-SCOR^d$ ,  $-NR^dSR^c$ ,  $-SR^b$ ,  $-NHS(O)_jR^b$ ,  $-COSR^b$ ,  $-C(O)S(O)_jR^b$ ,  $-CSR^b$ ,  $-CS(O)_jR^b$ ,  $-C(SO)OH$ ,  
 $-C(SO)_2OH$ ,  $-NR^dC(S)R^c$ ,  $-OC(S)R^b$ ,  $-OC(S)OH$ ,  $-OC(SO)_2R^b$ ,  $-S(O)_jNR^bR^c$ ,  $-SNR^bR^c$ ,  
 25  $-S(O)NR^bR^c$ ,  $-NR^dCS(O)_jR^c$ ,  $-C(O)_i(CH_2)_tNR^d$  (4-10 membered heteroaryl),  $-C(O)_i(CH_2)_tNR^d$  (4-10 membered heterocycloalkyl),  $-(CR^dR^e)_tCN$ ,  $-(CR^dR^e)_t(C_3-C_{10} \text{ cycloalkyl})$ ,  $-(CR^dR^e)_t(C_6-C_{10} \text{ aryl})$ ,  
 $-(CR^dR^e)_t$  (4-10 membered heterocycloalkyl),  $-(CR^dR^e)_t$  (4-10 membered heteroaryl),  
 $-(CR^dR^e)_qC(O)(CR^dR^e)_t(C_3-C_{10} \text{ cycloalkyl})$ ,  $-(CR^dR^e)_qC(O)(CR^dR^e)_t(C_6-C_{10} \text{ aryl})$ ,  
 $-(CR^dR^e)_qC(O)(CR^dR^e)_t$  (4-10 membered heterocycloalkyl),  $-(CR^dR^e)_qC(O)(CR^dR^e)_t$  (4-10 membered heteroaryl),  
 30  $-(CR^dR^e)_tO(CR^dR^e)_q(C_3-C_{10} \text{ cycloalkyl})$ ,  $-(CR^dR^e)_tO(CR^dR^e)_q(C_6-C_{10} \text{ aryl})$ ,  
 $-(CR^dR^e)_tO(CR^dR^e)_q$  (4-10 membered heterocycloalkyl),  $-(CR^dR^e)_tO(CR^dR^e)_q$  (4-10 membered heteroaryl),  
 $-(CR^dR^e)_qSO_2(CR^dR^e)_t(C_3-C_{10} \text{ cycloalkyl})$ ,  $-(CR^dR^e)_qSO_2(CR^dR^e)_t(C_6-C_{10} \text{ aryl})$ ,  
 $-(CR^dR^e)_qSO_2(CR^dR^e)_t$  (4-10 membered heterocycloalkyl), and  $-(CR^dR^e)_qSO_2(CR^dR^e)_t$  (4-10 membered heteroaryl), wherein  $R^a$  is selected from the group consisting of halo, hydroxyl,  
 35  $-NR^dR^e$ ,  $C_1-C_{10}$  alkyl, haloalkyl,  $C_1-C_6$  alkoxy,  $R^b$  and  $R^c$  are independently selected from H,  $C_1-C_{10}$  alkyl,  $-(CR^dR^e)_t(C_3-C_{10} \text{ cycloalkyl})$ ,  $-(CR^dR^e)_t(C_6-C_{10} \text{ aryl})$ ,  $-(CR^dR^e)_t$  (4-10 membered heterocycloalkyl), and  $-(CR^dR^e)_t$  (4-10 membered heteroaryl),  $R^d$  and  $R^e$  are independently H or

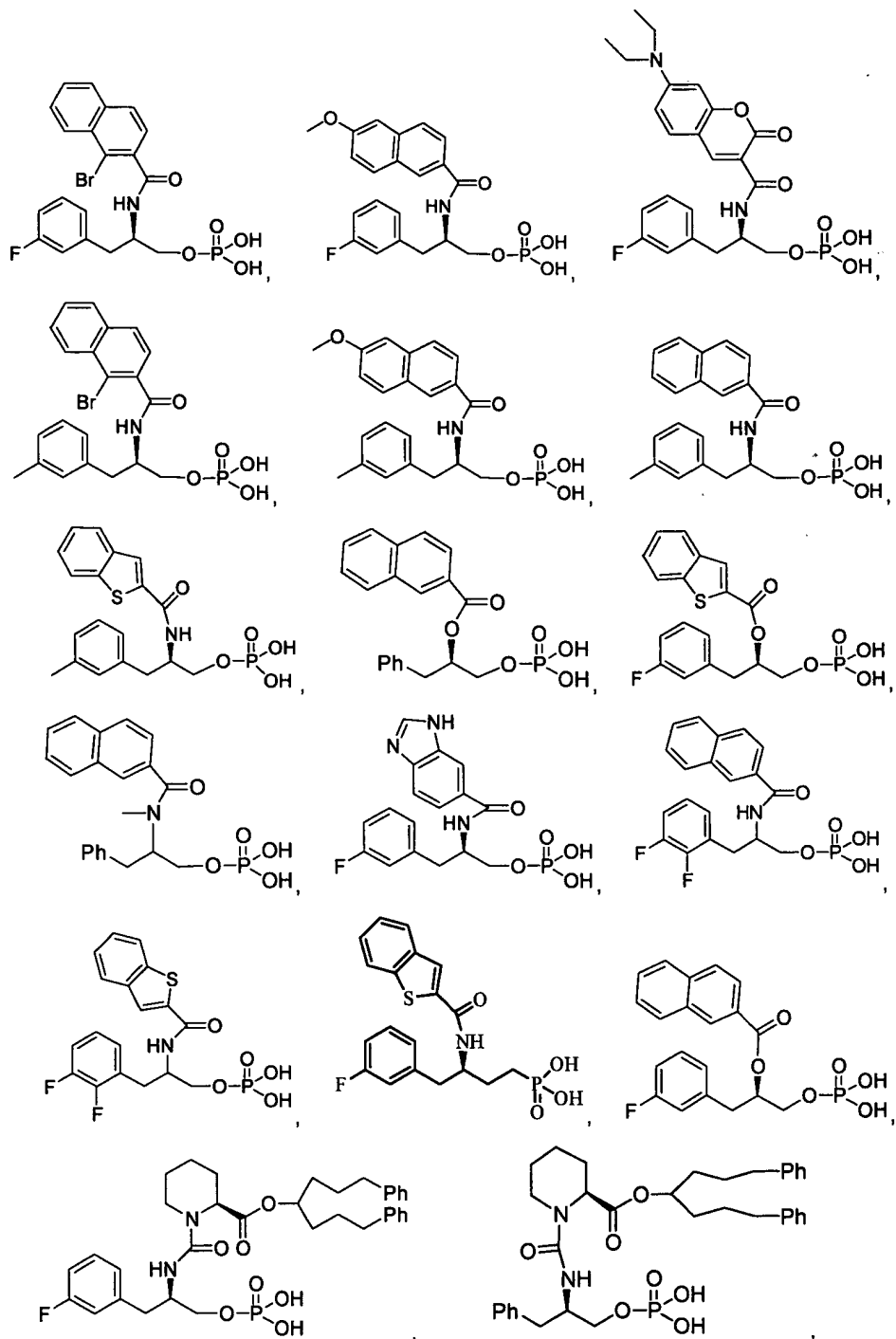
C<sub>1</sub>-C<sub>6</sub> alkyl, j is an integer from 0 to 2, q and t are each independently an integer from 0 to 5, and 1 or 2 ring carbon atoms of the cyclic moieties of the foregoing R<sup>10</sup> groups are unsubstituted or substituted with =O, and the alkyl, alkenyl, alkynyl, aryl and cyclic moieties of the foregoing R<sup>10</sup> groups are unsubstituted or substituted with 1 to 3 substituents independently selected from halo, =O, cyano, nitro, -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>CN, haloalkyl, 2-10 membered heteroalkyl, -OR<sup>b</sup>, -C(O)<sub>j</sub>R<sup>b</sup>, -NR<sup>d</sup>C(O)R<sup>b</sup>, -C(O)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>b</sup>R<sup>c</sup>, -NR<sup>b</sup>OR<sup>c</sup>, -NR<sup>d</sup>C(O)<sub>j</sub>NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>C(O)<sub>j</sub>R<sup>b</sup>R<sup>c</sup>, -OC(O)<sub>j</sub>R<sup>b</sup>, -OC(O)NR<sup>b</sup>R<sup>c</sup>, -SR<sup>d</sup>, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heterocycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heteroaryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl)-(C<sub>1</sub>-C<sub>6</sub> alkyl); and wherein t, R<sup>b</sup>, R<sup>c</sup>, R<sup>d</sup>, R<sup>e</sup> are as defined above.

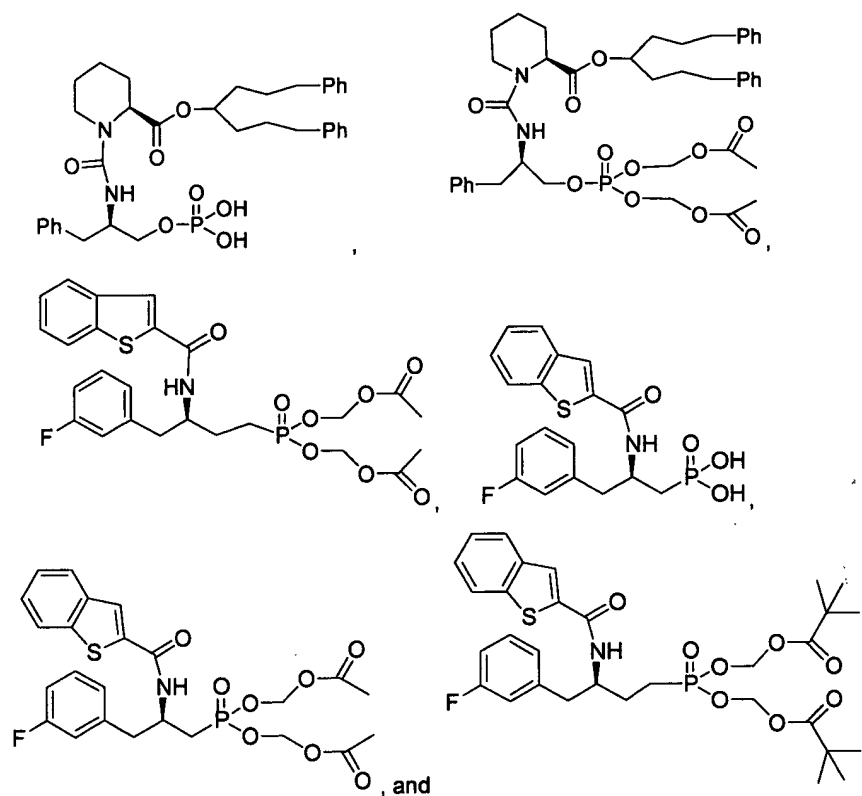
5. A compound or pharmaceutically acceptable salt according to claim 4, wherein:  
 n is 1;  
 A is -NH-Y- or -O-Y-, wherein Y is C(O);  
 X is a direct bond, CH<sub>2</sub>, or O;  
 R<sup>1</sup> is a C<sub>6</sub>-C<sub>10</sub> aryl group unsubstituted or substituted with 1 to 4 R<sup>10</sup> groups;  
 R<sup>2</sup> is -P(O)(OR<sup>4</sup>)<sub>2</sub>, wherein R<sup>4</sup> is an H or a C<sub>1</sub>-C<sub>10</sub> alkyl group that is unsubstituted or substituted with 1 to 4 R<sup>10</sup> groups; and  
 R<sup>3</sup> is a C<sub>6</sub>-C<sub>10</sub> aryl or 4-10 membered heteroaryl group unsubstituted or substituted with 1 to 4 R<sup>10</sup> groups;  
 wherein each R<sup>10</sup> is independently selected from halo, amino, =O, =S, =NH, cyano, nitro, hydroxyl, -SH, haloalkyl, 2-10 membered heteroalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, -C(O)<sub>j</sub>R<sup>a</sup>, -OC(O)<sub>j</sub>R<sup>d</sup>, -OC(O)OC(O)R<sup>d</sup>, -OOH, -C(NR<sup>d</sup>)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>C(NR<sup>e</sup>)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>C(O)<sub>j</sub>R<sup>b</sup>, -C(O)NR<sup>b</sup>R<sup>c</sup>, -C(O)NR<sup>d</sup>COR<sup>b</sup>, -OC(O)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>OR<sup>c</sup>, -C(S)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>C(S)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>C(O)NR<sup>b</sup>R<sup>c</sup>, -OSH, -S(O)<sub>j</sub>R<sup>b</sup>, -OS(O)<sub>j</sub>R<sup>b</sup>, -SC(O)R<sup>b</sup>, -S(O)<sub>j</sub>C(O)OR<sup>b</sup>, -SCOR<sup>d</sup>, -NR<sup>d</sup>SR<sup>c</sup>, -SR<sup>b</sup>, -NHS(O)<sub>j</sub>R<sup>b</sup>, -COSR<sup>b</sup>, -C(O)S(O)<sub>j</sub>R<sup>b</sup>, -CSR<sup>b</sup>, -CS(O)<sub>j</sub>R<sup>b</sup>, -C(SO)OH, -C(SO)<sub>2</sub>OH, -NR<sup>d</sup>C(S)R<sup>c</sup>, -OC(S)R<sup>b</sup>, -OC(S)OH, -OC(SO)<sub>2</sub>R<sup>b</sup>, -S(O)<sub>j</sub>NR<sup>b</sup>R<sup>c</sup>, -SNR<sup>b</sup>R<sup>c</sup>, -S(O)NR<sup>b</sup>R<sup>c</sup>, -NR<sup>d</sup>CS(O)<sub>j</sub>R<sup>c</sup>, -C(O)<sub>j</sub>(CH<sub>2</sub>)<sub>i</sub>NR<sup>d</sup>-(4-10 membered heteroaryl), -C(O)<sub>j</sub>(CH<sub>2</sub>)<sub>i</sub>NR<sup>d</sup>-(4-10 membered heterocycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>CN, -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heterocycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heteroaryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>C(O)(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>C(O)(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>C(O)(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heterocycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>C(O)(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heteroaryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>O(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>O(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>O(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>(4-10 membered heterocycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>O(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>(4-10 membered heteroaryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>SO<sub>2</sub>(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>SO<sub>2</sub>(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>SO<sub>2</sub>(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heterocycloalkyl), and -(CR<sup>d</sup>R<sup>e</sup>)<sub>q</sub>SO<sub>2</sub>(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heteroaryl), wherein R<sup>a</sup> is selected from the group consisting of halo, hydroxyl, -NR<sup>d</sup>R<sup>e</sup>, C<sub>1</sub>-C<sub>10</sub> alkyl, haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, R<sup>b</sup> and R<sup>c</sup> are independently selected from H, C<sub>1</sub>-C<sub>10</sub> alkyl, -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>3</sub>-C<sub>10</sub> cycloalkyl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heterocycloalkyl), and -(CR<sup>d</sup>R<sup>e</sup>)<sub>i</sub>(4-10 membered heteroaryl), R<sup>d</sup> and R<sup>e</sup> are independently H or

- $C_1$ - $C_6$  alkyl,  $j$  is an integer from 0 to 2,  $q$  and  $t$  are each independently an integer from 0 to 5, and 1 or 2 ring carbon atoms of the cyclic moieties of the foregoing  $R^{10}$  groups are unsubstituted or substituted with  $=O$ , and the alkyl, alkenyl, alkynyl, aryl and cyclic moieties of the foregoing  $R^{10}$  groups are unsubstituted or substituted with 1 to 3 substituents independently selected from halo,  $=O$ , cyano, nitro,  $-(CR^dR^e)_iCN$ , haloalkyl, 2-10 membered heteroalkyl,  $-OR^b$ ,  $-C(O)_jR^b$ ,  $-NR^dC(O)R^b$ ,  $-C(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^bOR^c$ ,  $-NR^dC(O)_jNR^bR^c$ ,  $-NR^dC(O)_jR^bR^c$ ,  $-OC(O)_jR^b$ ,  $-OC(O)NR^bR^c$ ,  $-SR^d$ ,  $C_1$ - $C_{10}$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $-(CR^dR^e)_i(C_3$ - $C_{10}$  cycloalkyl),  $-(CR^dR^e)_i(C_6$ - $C_{10}$  aryl),  $-(CR^dR^e)_i(4$ -10 membered heterocycloalkyl),  $-(CR^dR^e)_i(4$ -10 membered heteroaryl),  $-(CR^dR^e)_i(C_6$ - $C_{10}$  aryl)-(C<sub>1</sub>-C<sub>6</sub> alkyl); and wherein  $t$ ,  $R^b$ ,  $R^c$ ,  $R^d$ ,  $R^e$  are as defined above.

6. A compound selected from the group consisting of:







5 or a pharmaceutically acceptable salt thereof.

7. A pharmaceutical composition comprising: a therapeutically effective amount of an agent selected from the group consisting of compounds, prodrugs, metabolites, and salts as defined in claim 1; and a pharmaceutically acceptable carrier.

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8. A method of treating a mammalian disease condition mediated by PIN1 activity, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound, pharmaceutically acceptable prodrug, pharmaceutically active metabolite, or pharmaceutically acceptable salt as defined in claim 1.

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9. A method according to claim 8, wherein the mammalian disease condition is associated with hypertension, inappropriate cell proliferation, infectious diseases, or neurodegenerative brain disorders.